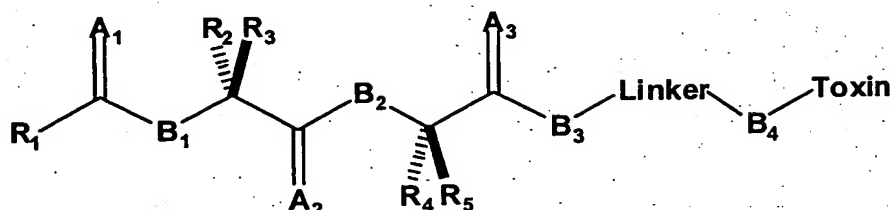


CLAIMS

We claim:

1. A compound having the structure:



wherein R_1 , R_2 , R_4 , and R_5 are independently the same or different and are selected from the group consisting of hydrogen, a substituted or unsubstituted C_5 - C_{14} aromatic or heteroaromatic (for example: phenylmethylene, 4-hydroxyphenylmethylene, imidazolmethylene, etc.); and a substituted or unsubstituted saturated or unsaturated C_1 - C_6 alkyl (for example: methyl, ethyl, 3-hydroxypropyl, 3-aminopropyl, N-methyl-3-aminoethyl, 2-methoxyethyl, etc.);

wherein R_3 is selected from the group consisting of a substituted or unsubstituted aromatic or heteroaromatic (for example: phenylmethylene; triazolmethylene, thiophenemethylene, etc.), and a substituted or unsubstituted saturated or unsaturated C_1 - C_6 alkyl (for example: ethyl, propyl, 2-hydroxyethyl, etc.) and $-\text{CH}_2-\text{CH}_2-\text{X}-\text{CH}_3$, wherein X is selected from the group consisting of O , S , NH , NR_6 , and CH_2 ; where R_6 is a lower alkyl such as, for example, methyl or ethyl;

wherein A_1 and A_3 are independently the same or different and are selected from the group consisting of $=\text{O}$, $=\text{S}$, $=\text{NH}$, $=\text{N}-\text{OH}$, or $=\text{N}-\text{R}_7$, where R_7 is hydrogen or a C_1 - C_6 alkyl such as, for example, methyl, ethyl, or methoxymethyl;

wherein A_2 is selected from the group consisting of $=\text{O}$, $=\text{S}$; $=\text{NH}$, $=\text{N}-\text{OH}$, $=\text{N}-\text{R}_8$, or $=\text{C}(\text{R}_9)(\text{R}_{10})$, wherein R_8 , R_9 , and R_{10} are independently the same or different and are selected from the group consisting of hydrogen or a C_1 - C_6 alkyl such as, for example, methyl, ethyl, or methoxymethyl;

wherein B_1 is selected from the group consisting of $-\text{O}-$, $-\text{S}-$, $-\text{NH}-$ or $-\text{N}(\text{R}_{11})-$, wherein R_{11} is selected from the group consisting of hydrogen and a C_1 - C_6 alkyl such as, for example, methyl, ethyl, or methoxymethyl;

wherein B_2 is absent or is selected from the group consisting of $-O-$, $-S-$, $-N(R_{12})-$, or $-C(R_{13})(R_{14})-$, where R_{12} , R_{13} , and R_{14} are independently the same or different and are selected from the group consisting of hydrogen or a substituted or unsubstituted saturated or unsaturated C_1 - C_6 alkyl (for example: methyl, ethyl, 3-hydroxypropyl, 3-aminopropyl, N-methyl-3-aminoethyl, 2-methoxyethyl, etc.), wherein
5 when B_2 is $-N(R_{12})-$ or $-C(R_{13})(R_{14})-$ it can be additionally joined through R_{12} , R_{13} or R_{14} to R_4 or R_5 to form a cyclic structure; wherein the fragment $-B_2-C(R_4)(R_5)-C(=A_3)-$ in its entirety is proline or a proline derivative or analog,

wherein B_3 is absent or is selected from the group consisting of $-O-$, $-S-$, or $-NH-$, or $-N(R_{15})-$, wherein R_{15} is selected from the group consisting of hydrogen and a
10 C_1 - C_6 alkyl such as, for example, methyl, ethyl, or methoxymethyl;

wherein B_4 is absent or is selected from the group consisting of $-O-$, $-S-$, $-N(R_6)-$, and $-C(R_{16})(R_{17})-$ and wherein R_{16} and R_{17} are independently the same or different and are selected from the group consisting of hydrogen or a substituted or
15 unsubstituted saturated or unsaturated C_1 - C_6 alkyl such as, for example, methyl, ethyl, or methoxymethyl;

wherein a Linker is absent or is a traceless linker;

and wherein a toxin is an agent that is toxic upon activation by an activating enzyme with the proviso that the toxin is not 5-fluorodeoxyuridine, or any derivative or
20 analog thereof.

2. The compound of claim 1, wherein R_1 and R_2 are both hydrogen.

3. The compound of claim 2, wherein R_3 is $-CH_2-CH_2-X-CH_3$, wherein X is
25 selected from the group consisting of oxygen, sulfur or methyl.

4. The compound of claim 3, wherein X is sulfur or oxygen.

5. The compound of claim 4, wherein A_1 and A_2 are both oxygen.

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6. The compound of claim 5, wherein B_1 is $-NH$.

7. The compound of claim 1 wherein the linker is selected from the group consisting of $C_6H_4-CH_2-$ and $-C_6H_4-CH_2-X_1-C(=X_2)-$ wherein X_1 and X_2 are independently the same or different and are selected from the group consisting of $-O-$, $-S-$ and $-N(R_a)$, and where R_a is $-hydrogen$ or a lower alkyl; and $-(CH_2)_n-NR_b-(C=O)-$ wherein $n = 2$ or 3 and R_b is hydrogen or a lower alkyl.

8. The compound of claim 7, wherein B_4 is absent.

9. The compound of claim 8, wherein the toxin is selected from the group consisting of 2-mercaptopyridine-N-oxide, ciprofloxacin, norfloxacin, nitrogen mustard and the derivatives, analogues and pharmaceutically acceptable salts thereof.

10. The compound of claim 9, wherein B_2 is $-NH$, B_3 is $-O-$, R_4 is 2-methylpropyl and R_5 is hydrogen.

11. The compound of claim 9, wherein the toxin is norfloxacin or a derivative, analog or pharmaceutically acceptable salt thereof.

12. The compound of claim 1, wherein the compound is purified.

13. A composition comprising the compound of claim 1 and a carrier.

14. The composition of claim 13, wherein the carrier is a pharmaceutically acceptable carrier.

15. A method for inhibiting the growth of a microorganism, comprising contacting the microorganism with an effective amount of the compound of claim 1.

16. A method for treating a subject comprising administering to the subject an effective amount of the compound of claim 1.

17. A method for identifying potential therapeutic agents, comprising:
- (a) contacting a microorganism with a compound of claim 1 under conditions that favor the incorporation of the compound into the microorganism; and
 - (b) assaying for amount of proliferation of microorganism in comparison to an untreated sample of the microorganism.
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